WHAT IS CLAIMED IS:

1. A method for increasing the aqueous solubility of a pharmaceutically active agent, comprising the steps of

conjugating said agent to a phospholipid moiety, wherein said phospholipid moiety is selected from the group consisting of phosphoserine, phosphotyrosine, phosphoethanolamine, n-monoalkyl-phosphoethanolanolamine and N, N-dialkyl-phosphoethanolamine and

recovering said pharmaceutically active agent conjugated to said phospholipid.

2. The method of claim 1, wherein said agent is selected from the group consisting of a steroid, peptide, prostaglandin, catecholamine, and a leukotriene.

3. The method of claim 1 wherein said agent is an antibiotic selected from the group consisting of cephalosporin P1, fusidic acid and helvolic acid.

4. The phospholipid conjugated pharmaceutically active agent produced by the method of claim 1.

5. A pharmaceutical formulation comprising a phospholipid-conjugated active agent wherein said agent is selected from the group consisting of testosterone, estrone, estradiol, etiochaolanolone, and dehydroepiandosterone and a pharmaceutically-acceptable carrier or diluent wherein said phospholipid is selected from the group consisting of phosphoserine, phosphotyrosine, phosphoethanolamine, n-monoalkyl-phosphoethanolanolamine and N, N-dialkyl-phosphoethanolamine.

6. A pharmaceutical formulation for treating a mammal suffering from asthma comprising an isolated phospholipid derivative of theophylline and a pharmaceutically acceptable carrier or diluent wherein said phospholipid is selected from the group consisting of phosphoserine, phosphotyrosine, phosphoethanolamine, n-monoalkylphosphoethanolanolamine and N, N-dialkyl-phosphoethanolamine.

7. A pharmaceutical formulation comprising an isolated phospholipid derivative of an antibiotic selected from the group consisting of cephalosporin Pl, fusidic acid and helvolic acid, and a pharmaceutically acceptable carrier or diluent.

8. A pharmaceutical formulation comprising a phospholipid-conjugated pharmaceutically active agent wherein said agent is selected from the group consisting of digoxigenin, digitoxigenin, ouabagenin and salicylic acid, and a pharmaceutically acceptable carrier or diluent.

9. A pharmaceutical formulation comprising a biologically-active phospholipid-conjugated pharmaceutically active agent wherein said agent is selected from the group consisting of Menadiol, Metronidazole, Clindamycin, Pentaerythritol Tetranitrate, Mesalamine, ß-Tocopherol, γ-Tocopherol, δ-Tocopherol, Roxindole, Vitamin E, Styramate, Strophanthidin, Vitamin A, Vitamin D_2, Vitamin D_3, Vitamin A_2, Calcitriol, Diflunisal, Clavulanic Acid, Retinoic Acid, and Mazindole and a pharmaceutically acceptable carrier or diluent.

10. A pharmaceutical formulation comprising a phospholipid-conjugated derivative of DMP-323 and a pharmaceutically acceptable carrier or diluent.

11. A pharmaceutical formulation comprising a phospholipid-conjugated pharmaceutically active agent wherein said agent is selected from the group consisting of Isoproterenol, Propranolol, Methyldopa, Epinephrine, Codeine, Codeine Phosphate, Acetaminophen, and Aspirin, and a pharmaceutically acceptable carrier or diluent.

12. A composition of matter comprising an isolated phospholipid derivative of an antibiotic selected from the group consisting of cephalosporin Pl, fusidic acid and helvolic acid.

13. A composition of matter comprising a phospholipid-conjugated pharmaceutically active agent wherein said agent is selected from the group consisting of digoxigenin, digitoxigenin, ouabagenin and salicylic acid.

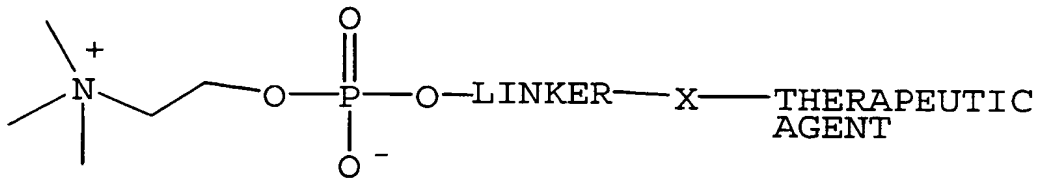
14. A composition of matter comprising a biologically-active phospholipid-conjugated pharmaceutically active agent wherein said agent is selected from the group consisting of Menadiol, Metronidazole, Clindamycin, Pentaerythritol Tetranitrate, Mesalamine, ß-Tocopherol, γ-Tocopherol, δ-Tocopherol, Roxindole, Vitamin E, Styramate, Strophanthidin, Vitamin A, Vitamin D_2, Vitamin D_3, Vitamin A_2, Calcitriol, Diflunisal, Clavulanic Acid, Retinoic Acid, and Mazindole.

15. A composition of matter comprising a phospholipid-conjugated derivative of DMP-323.

16. A composition of matter comprising a phospholipid-conjugated pharmaceutically active agent wherein said agent is selected from the group consisting of Isoproterenol, Propranolol, Methyldopa, Epinephrine, Codine, Codine Phosphate, Acetaminophen, and Aspirin.

We Claim:

1. A compound having the general formula I:



wherein the LINKER is one or more of the groups selected from the group consisting of (i) substituted or unsubstituted alkyl, (ii) substituted or unsubstituted alkenyl, (iii) substituted or unsubstituted alkanoyl, (iv) substituted or unsubstituted alkenoyl wherein the double bond is *cis*, and (v) (*ortho* or *para*) carbonyl-substituted aryl; and

wherein the subtituent is each an independent group or linked together thereby forming a ring; and

wherein X is one or more substituted or unsubstituted group containing one or more O, N, or S atom and

wherein the substituent is each an independent group or linked together thereby forming a ring; and

wherein the therapeutic agent is selected from the group consisting of alcohol- containing water-insoluble steroids and another alcohol containing compounds.

2. A compound according to claim 1, wherein (i) said alkyl has the formula CR_1R_2, (ii) said alkenyl has the formula $CR_1=CR_3-CR_4$, (iii) said alkanoyl has the formula $CR_1R_2-CR_3R_4-CR_5R_6-CO$, (iv) said alkenoyl has the formula $CR_1R_2-CR_3=CR_4-CO$ and wherein the double bond is *cis*, and (v) said substituted aryl has the formula aryl-CR_1R_2; and

wherein R_1, R_2, R_3, R_4, R_5, and R_6 are the same or

different and are selected from the group consisting of

(i) hydrogen;

(ii) linear, branched, and unsaturated C_{1-12}-alkyl;

(iii) substituted C_{1-8}-alkyl, wherein the substituent is selected from the group consisting of Y1-Y24, wherein

Y1 is hydroxy,

Y2 is C_{1-8}-alkoxy,

Y3 is carbo-C_{1-8}-alkoxy,

Y4 is C_{1-8}-alkylamino,

Y5 is di-C_{1-8}-alkylamino,

Y6 is C_{6-12}-arylamino,

Y7 is C_{6-12}- aryloxy,

Y8 is amino,

Y9 is amino-C_2-C_8-alkoxy,

Y10 is C_{1-8}-alkylthio,

Y11 is C_{6-12}-arylthio,

Y12 is acetamido,

Y13 is mercapto,

Y14 is benzamido,

Y15 is carboxamido,

Y16 is phthalimido,

Y17 is guanidino,

Y18 is ureido,

Y19 is isothioureido,

Y20 is carboxy,

Y21 is (C_{6-12})aryl-(C_{1-8})alkyl,

Y22 is (C_{6-12})aryl-(C_{2-8})alkenyl,

Y23 is aromatic heterocyclo(C_{1-8})alkyl,

and Y24 is aromatic heterocyclo(C_{2-8})alkenyl wherein the heterocyclic group of Y23 and Y24 have 5 - 10 ring atoms and comprises up to two O, N, or S heteroatoms; and

(iv) substituted Y21 or substituted Y23 wherein the substituent is selected from the group consisting of Y1, Y2, Y4, Y5, Y7, Y8, Y12, Y14, Y17-Y20, and Y25-Y29 wherein

Y25 is halogen,
Y26 is C_{1-8}-alkyl,
Y27 is amino-C_{1-8}-alkyl,
Y28 is C_{6-12}-aroyl, and
Y29 is C_{1-8}-alkanoyl.

3. A compound according to claim 2, wherein said R_1 and R_2; R_1 and R_3; R_2 and R_3; R_3 and R_4; R_3 and R_5; and R_5 and R_6 are linked together thereby forming:

(i) a ring of three to six carbon atoms, or

(ii) a ring of two to five carbon atoms and one O, or S heteroatom, or substituted heteroatom NR_7; wherein R_7 is selected from the group consisting of Y21, Y26, Y28, Y29, and Y30-Y31, wherein Y30 is C_{3-8}-alkenyl, and
Y31 is C_{6-12}-aryl.

4. A compound according to claim 2 wherein the group containing one or more O, N, or S atom is selected from the group consisting of O, (O)CO, NR_8, NR_8 CO, NR_8 CO NR_9, $NR_8(SO_2)$, NR_8 CS, NR_8 CS NR_9, ONR_8, ONR_8CO, $NR_8(O)$, $NR_8(O)CO$, nitrogen heterocycles, amide and urea internal in therapeutic agent; and

wherein R_8 and R_9 are the same or different and are selected from the group consisting of

(i) hydrogen;

(ii) linear, branched, and unsaturated C_{1-12}-alkyl;

(iii) substituted C_{1-8}-alkyl, wherein the substituent is selected from the group consisting of Y1-Y13 and Y15-Y25;

(iv) substituted Y21 or substituted Y23 wherein the substituent is selected from the group consisting of Y1, Y2, Y4, Y5, Y7, Y8, Y12, Y14, Y17-Y20, and Y25-Y29.

5. A compound according to claim 4 wherein R_8 and R_9 are linked together thereby forming

(i) a ring of three to six carbon atoms, or

(ii) a ring of two to five carbon atoms and one O, or S heteroatom, or substituted heteroatom NR_7; wherein R_7 is selected from the group consisting of Y21, Y26, and Y28-Y31.

6. A compound according to claim 4 wherein R_8, R_9, or both are connected to the therapeutic agent molecule thereby forming alkylene bridge of from one to five carbon atoms and one or two O, S or NR_7 heteroatoms; wherein R_7 is selected from the group consisting of Y21, Y26, Y28-Y31, and the pharmaceutically acceptable salts thereof.

7. A compound according to claim 5 wherein R_8, R_9, or both are connected to the therapeutic agent molecule thereby forming alkylene bridge of from one to five carbon atoms and one or two O, S or NR_7 heteroatoms; wherein R_7 is selected from the group consisting of Y21, Y26, Y28-Y31; and the pharmaceutically acceptable salts thereof.

8. A compound according to claim 2, wherein said (*ortho* or *para*) carbonyl-substituted aryl is selected from the group consisting of *ortho*-CR_1R_2-substituted aryl-CO, substituted aryl-*ortho*-CR_3R_4-CO, substituted aryl-*ortho*- CR_3R_4-CR_5R_6-CO, substituted aryl-*ortho*-CR_3=CR_4-CO wherein the double bond is *cis*, *ortho*-CR_1R_2-substituted aryl-CR_5R_6-CO, and substituted aryl-(*ortho* or *para*)-CO.

9. A compound according to claim 2, wherein said aryl is selected from the group consisting of benzene, naphthalene, pyridine, pyrrole, thiophene, furan, imidazole, thiazole, oxazole, pyrimidine, indole, benzimidazole, benzthiazole, benzofuran, benzothiophene and quinoline, each bearing one or more of the group consisting of hydrogen, C_{1-8}-alkyl, C_{1-8}-alkoxy, F, Cl, Br, C_{1-8}-alkoxycarbonyl, amino, substituted amino, nitro,

C_{1-8}-alkylthio, C_{1-8}-alkylsulfoxido, and C_{1-8}-alkylsulfono.

10. A compound according to claim 2, wherein R_1 is hydrogen.

11. A compound according to claim 2, wherein R_1 and R_2 are hydrogen.

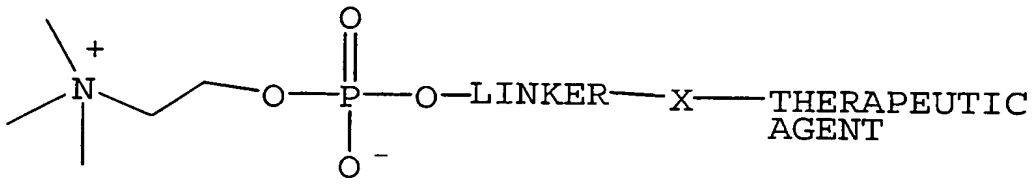
12. A compound according to claim 1, wherein the therapeutic agent is selected from the group consisting of Propofol and related anesthetic or sedative compounds.

13. A compound according to claim 1, wherein said water-insoluble steroids are selected from the group consisting of (i) testosterone, (ii) cardiotonic steroids selected from the group consisting of digitoxigenin, digoxigenin and ouabugenin, (iii) dehydroepiandrosterone (DHEA), (iv) etiocholanolone, (v) pregnenolone, (vi) estradiol, (vii) estrone, (viii) dexamethasone and (ix) hydrocortisone.

14. A compound according to claim 1, further comprises one or more of the ingredients selected from the group consisting of pharmaceutically-acceptable carriers, diluents, fillers, salts, buffers, preservatives, antioxidants, a binder, an excipient, a disintegrating agent, a lubricant, and a sweetening agent.

15. A compound according to claim 1 incorporated into tablets, capsules or elixirs for oral administration; suppositories for rectal administration; sterile solutions or suspensions for injectable administration; sterile solutions for ocular (?) or internasal administration.

16. A compound having the general formula I:

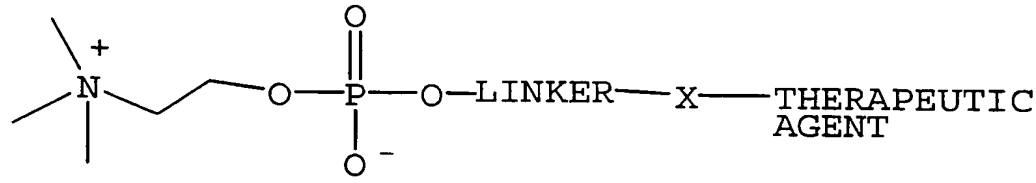


wherein the LINKER is a substituted alkenyl of formula CR_1R_2-CR_3=CR_4-CO, wherein R_1, R_3, and R_4 are hydrogen and wherein the double bond is *trans*, and

wherein X is O and

wherein the therapeutic agent is 2',6'-diisopropyl phenol.

17. A compound having the general formula I:



wherein the LINKER is a substituted alkanoyl of formula CR_1R_2-CR_3R_4-CR_5R_6-CO, wherein R_1, R_2, R_3, R_4, R_5, and R_6 are H, and

wherein X is O and

wherein the therapeutic agent is 2',6'-diisopropyl phenol.

18. A method for enabling potential therapeutic agents to be rendered soluble comprising the steps of inserting one or more linker moieties having one or more primary alcohol group between a phosphocholine or a phosphocholine congener to the therapeutic agents having one or more alcohol group.

19. A method for increasing the bioavailability of pharmaceutical agent comprising the steps of derivatizing the agent with one or more linker moieties, producing an intermediate, recovering and coupling the intermediate with phosphocholine or a phosphocholine-congener to the linkers, producing a final derivative and administering the final derivative to a mammal, wherein the agent in derivative form is significantly more soluble in aqueous media than the agent in non-derivatized form.

20. The method of claim 19 wherein the pharmaceutical agent is propofol.

21. A pharmaceutical formulation for treating a mammal suffering from cancer comprising an isolated phosphocholine linked via a linker to paclitaxel and a physiologically acceptable vehicle, carrier, binder, preservative, stabilizer, or flavor as called for by accepted pharmaceutical practice.